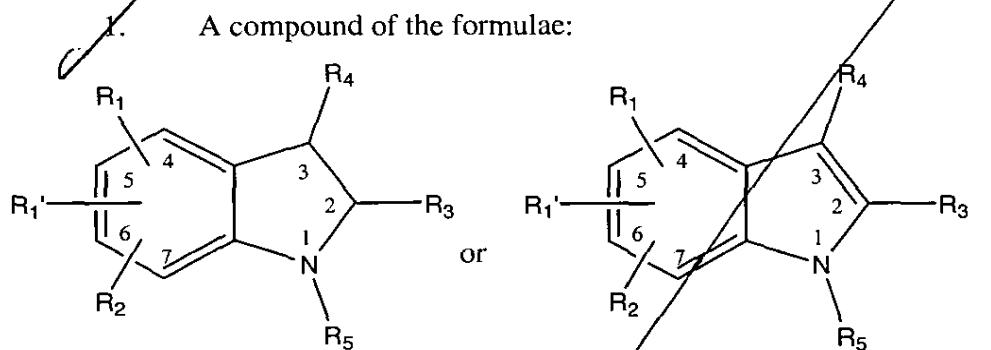


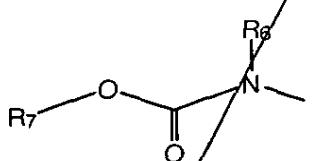
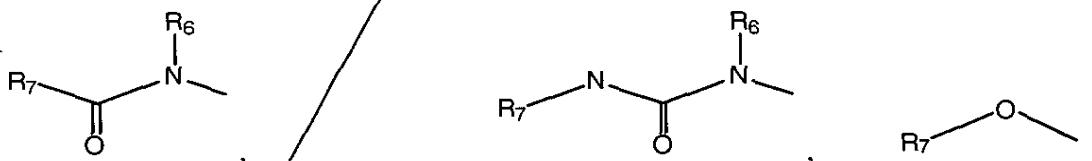
5 What is claimed:

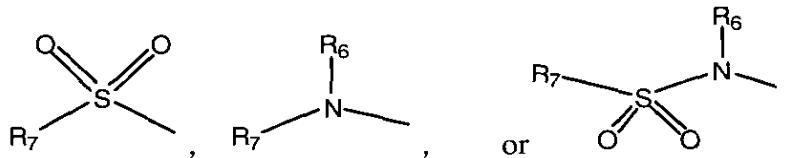


wherein:

10  $R_1$  and  $R_1'$  are independently selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_{10}$  alkyl,  $-S-C_1-C_{10}$  alkyl,  $/C_1-C_{10}$  alkoxy,  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-HN(C_1-C_6)$ ,  $-N(C_1-C_6)_2$ , phenyl,  $-O$ -phenyl,  $-S$ -phenyl, benzyl,  $-O$ -benzyl,  $-S$ -benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ ,  $-CF_3$ , or  $-OH$ ;

15 or a moiety of the formulae:





R<sub>6</sub> is selected from H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -C(O)CH<sub>3</sub>, phenyl, -O-phenyl, 10 benzyl, -O-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub>, or -OH;

R<sub>7</sub> is selected from -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-N-(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -(CH<sub>2</sub>)<sub>n</sub>-NH-(C<sub>1</sub>-C<sub>6</sub> alkyl), -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>5</sub> cycloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH-(C<sub>1</sub>-C<sub>6</sub> alkyl), -N-(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, pyridinyl, thienyl, furyl, pyrrolyl, quinolyl, (CH<sub>2</sub>)<sub>n</sub>phenyl, phenyl-O-phenyl, benzyl, -O-benzyl, adamantlyl, or morpholinyl, -(CH<sub>2</sub>)<sub>n</sub>-phenyl-O-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-phenyl-CH<sub>2</sub>-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-O-phenyl-CH<sub>2</sub>-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-phenyl-(O-CH<sub>2</sub>-phenyl)<sub>2</sub>, the rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH<sub>2</sub>, -NO<sub>2</sub>, -CF<sub>3</sub>, CO<sub>2</sub>H, or -OH; 15 20

R<sub>2</sub> is selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -CN, -NO<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1</sub>-C<sub>6</sub> alkyl, -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -N-SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl, or -SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sub>3</sub> is selected from H, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, -C<sub>1</sub>-C<sub>6</sub> alkyl, -C<sub>3</sub>-C<sub>10</sub> cycloalkyl, -CHO, halogen, (CH<sub>2</sub>)<sub>n</sub>C(O)NH<sub>2</sub> or a moiety of the formula -L<sup>1</sup>-M<sup>1</sup>: 25

5        L<sup>1</sup> indicates a linking or bridging group of the formulae -(CH<sub>2</sub>)<sub>n</sub>-, -S-, -O-,  
-C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, or -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-,  
C(O)C(O)X, -(CH<sub>2</sub>)<sub>n</sub>-N-(CH<sub>2</sub>)<sub>n</sub>;

10        M<sup>1</sup> is selected from:

15        a) H, the group of C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, C<sub>3</sub>-C<sub>10</sub> cycloalkyl,  
phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being optionally substituted  
by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl,  
C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, or -CF<sub>3</sub>; or

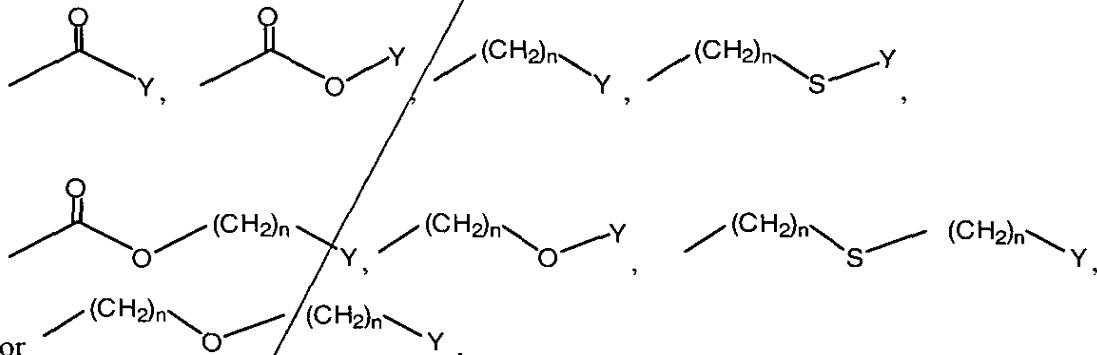
20        b) a five-membered heterocyclic ring containing one or two ring  
heteroatoms selected from N, S or O including, but not limited to, furan, pyrrole,  
thiophene, imidazole, pyrazole, isothiazole, isoxazole, pyrrolidine, pyrrolidine,  
imidazolidine, pyrazolidine, pyrazole, pyrazoline, imidazole, tetrazole, oxathiazole,  
the five-membered heterocyclic ring being optionally substituted by from 1 to 3  
25        substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy,  
preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, or -CF<sub>3</sub>; or

30        c) a six-membered heterocyclic ring containing one, two or three ring  
heteroatoms selected from N, S or O including, but not limited to, pyran, pyridine,  
pyrazine, pyrimidine, pyridazine, piperidine, piperazine, tetrazine, thiazine,  
thiadiazine, oxazine, or morpholine, the six-membered heterocyclic ring being  
optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl,  
preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -NO<sub>2</sub>, -NH<sub>2</sub>, -  
CN, -CF<sub>3</sub> or -OH; or

5 d) a bicyclic ring moiety containing from 8 to 10 ring atoms and  
optionally containing from 1 to 3 ring heteroatoms selected from N, S or O including,  
but not limited to benzofuran, chromene, indole, isoindole, indoline, isoindoline,  
naphthalene, purine, indolizine, indazole, quinoline, isoquinoline, quinolizine,  
quinazoline, cinnoline, phthalazine, or naphthyridine, the bicyclic ring moiety being  
optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl,  
preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -NO<sub>2</sub>, -NH<sub>2</sub>, -  
CN, -CF<sub>3</sub> or -OH;

10 R<sub>4</sub> is selected from the group of C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, -  
15 (CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>5</sub> cycloalkyl, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>5</sub>  
cycloalkyl, or the groups of:

20 a) -(CH<sub>2</sub>)<sub>n</sub>-phenyl-O-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-phenyl-CH<sub>2</sub>-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-O-  
phenyl-CH<sub>2</sub>-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-phenyl-(O-CH<sub>2</sub>-phenyl)<sub>2</sub>, or a moiety of the formulae:

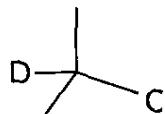


25 wherein n is independently selected in each appearance as an integer from 0 to 3,  
preferably 0 to 2, more preferably 0 to 1, Y is C<sub>3</sub>-C<sub>5</sub> cycloalkyl, phenyl, benzyl,  
naphthyl, pyridinyl, quinolyl, furyl, thienyl or pyrrolyl; rings of these groups being  
optionally substituted by from 1 to 3 substituents selected from H, halogen, -CF<sub>3</sub>, -

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5 OH,  $-C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $-NH_2$ ,  $-NO_2$  or a five membered heterocyclic ring containing one heteroatom selected from N, S, or O, preferably S or O; or

*Sabat*  
10 b) a moiety of the formulae  $-(CH_2)_n-A$ ,  $-(CH_2)_n-S-A$ , or  $-(CH_2)_n-O-A$ ,  
wherein A is the moiety:

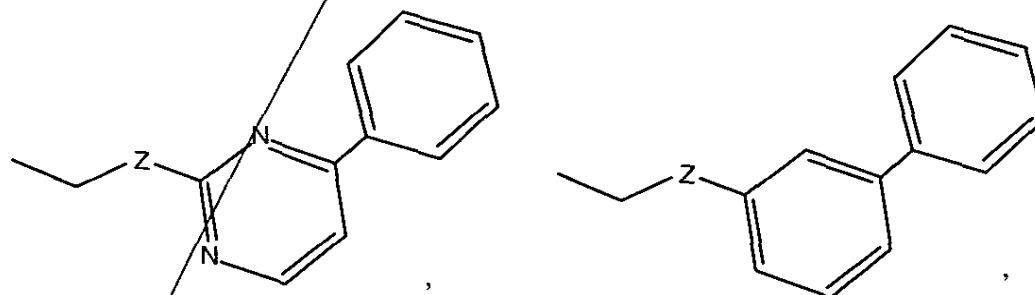


10 wherein

D is H,  $C_1-C_6$  lower alkyl,  $C_1-C_6$  lower alkoxy, or  $-CF_3$ ;

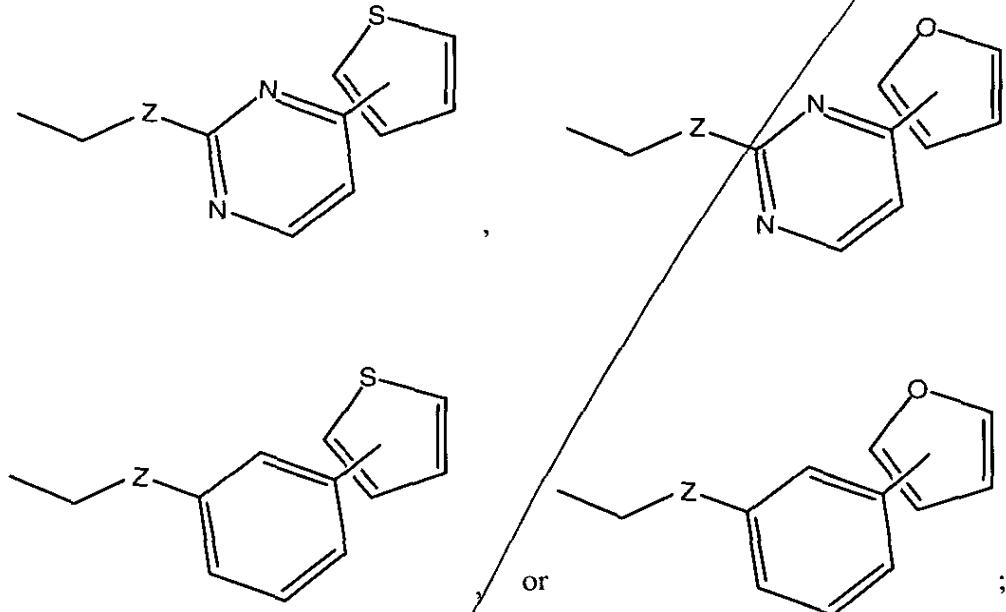
15 B and C are independently selected from phenyl, pyridinyl, furyl, thienyl, pyrimidinyl or pyrrolyl groups, each optionally substituted by from 1 to 3, preferably 1 to 2, substituents selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy, or  $-NO_2$ ; or

c) a moiety of the formulae:



20

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wherein Z is O or S and the phenyl and pyrimidinyl rings of each moiety are optionally and independently substituted by from 1 to 3 substituents selected from halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $-NH_2$ , or  $-NO_2$ ;

10

d) a moiety of the formula  $-L^2-M^2$ , wherein:

15  $L^2$  indicates a linking or bridging group of the formulae  $-(CH_2)_n-$ ,  $-S-$ ,  $-O-$ ,  $-SO_2-$ ,  $-C(O)-$ ,  $-(CH_2)_n-C(O)-$ ,  $-(CH_2)_n-C(O)-(CH_2)_n-$ ,  $-(CH_2)_n-O-(CH_2)_n-$ , or  $-(CH_2)_n-S-$   $(CH_2)_n-$ ,  $-C(O)C(\emptyset)X$ ;  
where  $X = O, N$

$M^2$  is selected from the group of  $C_1-C_6$  lower alkyl,  $C_1-C_6$  lower alkoxy,  $C_3-C_{10}$  cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being

5    optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, or -CF<sub>3</sub>; or

10    i)    a five-membered heterocyclic ring containing one or two ring heteroatoms selected from N, S or O including, but not limited to, furan, pyrrole, thiophene, imidazole, pyrazole, pyrrolidine, or tetrazole, the five-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, or -CF<sub>3</sub>; or

15    ii)    a six-membered heterocyclic ring containing one, two or three ring heteroatoms selected from N, S or O including, but not limited to pyridine, pyrimidine, piperidine, piperazine, or morpholine, the six-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub> or -OH; or

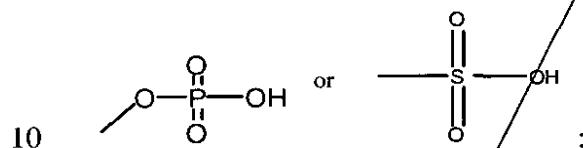
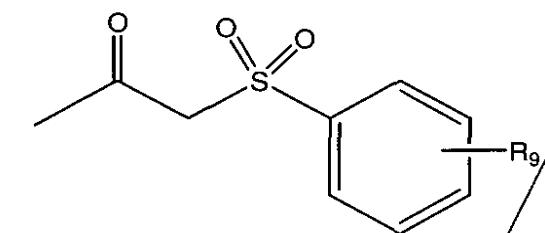
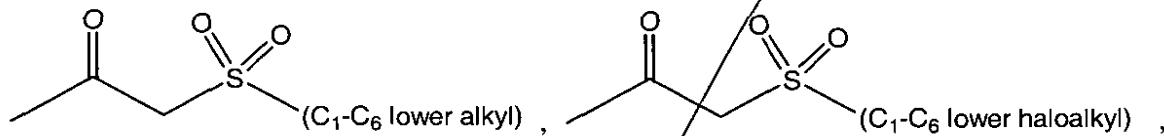
20    iii)    a bicyclic ring moiety containing from 8 to 10 ring atoms and optionally containing from 1 to 3 ring heteroatoms selected from N, S or O including, but not limited to benzofuran, indole, indoline, napthalene, purine, or quinoline, the bicyclic ring moiety being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub> or -OH;

25    30    n is an integer from 0 to 3;

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Sub  
A/

5  $R_s$  is selected from  $-\text{COOH}$ ,  $-\text{C}(\text{O})\text{-COOH}$ ,  $-(\text{CH}_2)_n\text{-C}(\text{O})\text{-COOH}$ ,  $-(\text{CH}_2)_n\text{-COOH}$ ,  $(\text{CH}_2)_n\text{-CH=CH-COOH}$ ,  $-(\text{CH}_2)_n\text{-tetrazole}$ ,  $-\text{CH}_2\text{-phenyl-C}(\text{O})\text{-benzothiazole}$ , or



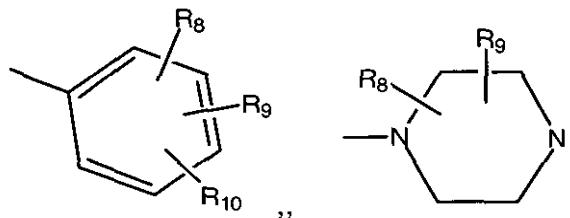
or a moiety selected from the formulae  $-\text{L}^3\text{-M}^3$ ;

wherein  $\text{L}^3$  is a bridging or linking moiety selected from a chemical bond,  $-(\text{CH}_2)_n\text{-}$ ,  $-\text{S-}$ ,  $-\text{O-}$ ,  $-\text{SO}_2\text{-}$ ,  $-\text{C}(\text{O})\text{-}$ ,  $-(\text{CH}_2)_n\text{-C}(\text{O})\text{-}$ ,  $-(\text{CH}_2)_n\text{-C}(\text{O})\text{-}(\text{CH}_2)_n\text{-}$ ,  $-(\text{CH}_2)_n\text{-O-}(\text{CH}_2)_n\text{-}$ ,  $-(\text{CH}_2)_n\text{-S-}(\text{CH}_2)_n\text{-}$ ,  $-\text{C}(\text{Z})\text{-N}(\text{R}_6)\text{-}$ ,  $-\text{C}(\text{Z})\text{-N}(\text{R}_6)\text{-}(\text{CH}_2)_n\text{-}$ ,  $-\text{C}(\text{O})\text{-C}(\text{Z})\text{-N}(\text{R}_6)\text{-}$ ,  $-\text{C}(\text{O})\text{-C}(\text{Z})\text{-N}(\text{R}_6)\text{-}(\text{CH}_2)_n\text{-}$ ,  $-\text{C}(\text{Z})\text{-NH-SO}_2\text{-}$ ,  $-\text{C}(\text{Z})\text{-NH-SO}_2\text{-}(\text{CH}_2)_n\text{-}$ ,  $-(\text{CH}_2)_n\text{-S-}(\text{CH}_2)_n\text{-}$ ,  $-(\text{CH}_2)_n\text{-SO-}(\text{CH}_2)_n\text{-}$ ,  $-(\text{CH}_2)_n\text{-SO}_2\text{-}(\text{CH}_2)_n\text{-}$ , or  $-(\text{CH}_2)_n\text{-CH=CH-}(\text{CH}_2)_n\text{-O-}$ ;

$\text{M}^3$  is selected from the group of  $-\text{COOH}$ ,  $-(\text{CH}_2)_n\text{-COOH}$ ,  $-(\text{CH}_2)_n\text{-C}(\text{O})\text{-COOH}$ , tetrazole,

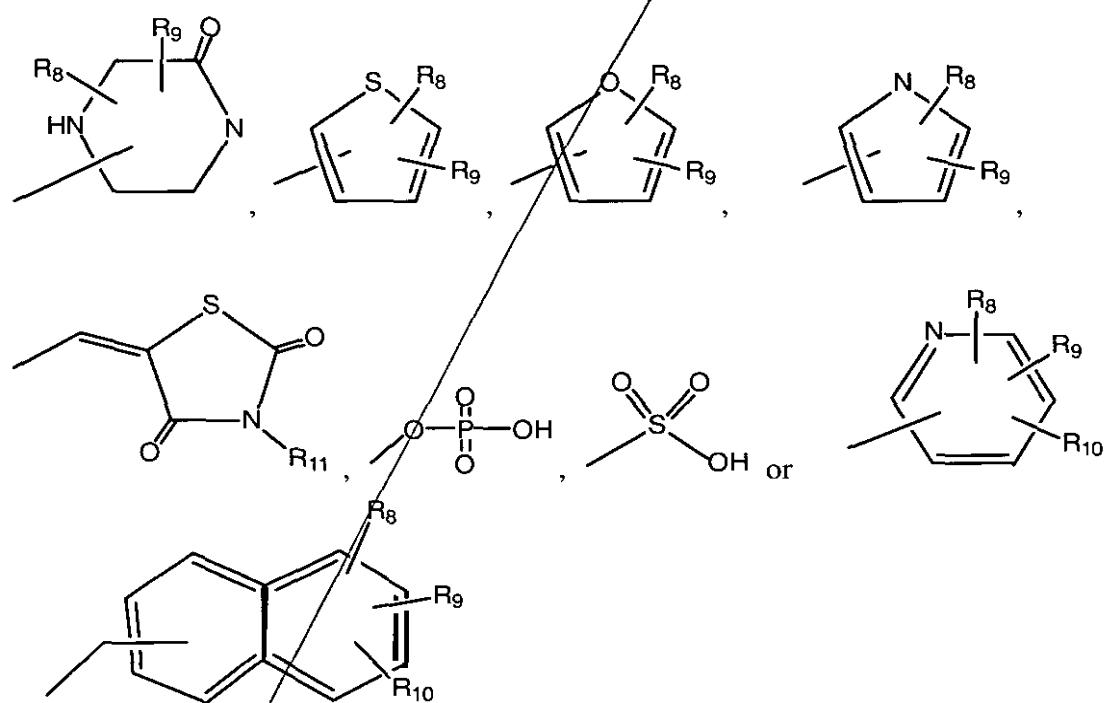
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*Sub A1*

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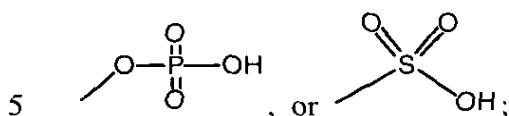
where R<sub>8</sub>, R<sub>9</sub> or R<sub>10</sub> can be attached anywhere in the cyclic or bicyclic system,  
n is an integer from 0 to 3;

15

R<sub>8</sub>, in each appearance, is independently selected from H, -COOH, -(CH<sub>2</sub>)<sub>n</sub>-

COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, tetrazole, -C(O)-NH<sub>2</sub>, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-NH<sub>2</sub>,

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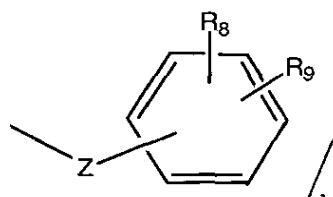
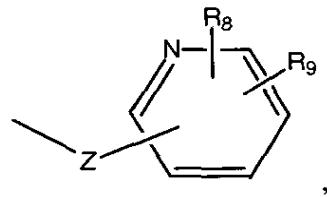
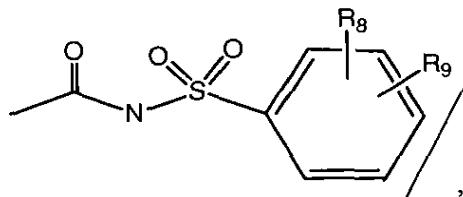
n is an integer from 0 to 3;

Sub A 10 R<sub>9</sub> is selected from H, halogen, -CF<sub>3</sub>, -OH, -COOH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, -C<sub>1</sub>-C<sub>6</sub> alkyl, -O-C<sub>1</sub>-C<sub>6</sub> alkyl, -NH(C<sub>1</sub>-C<sub>6</sub> alkyl), or -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>;

n is an integer from 0 to 3;

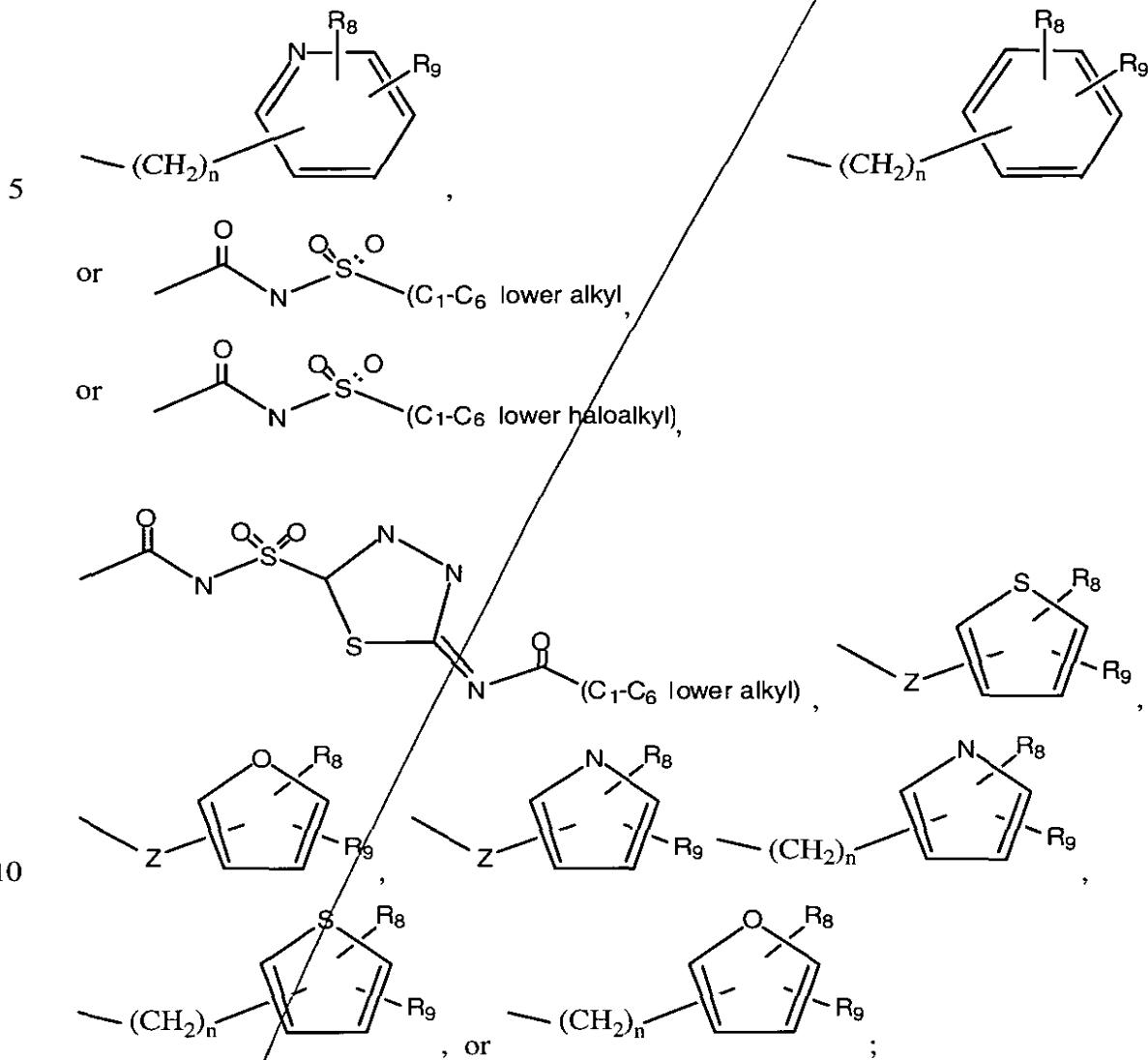
R<sub>10</sub> is selected from the group of H, halogen, -CF<sub>3</sub>, -OH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, -C<sub>1</sub>-C<sub>6</sub> alkyl, -O-C<sub>1</sub>-C<sub>6</sub> alkyl, -NH(C<sub>1</sub>-C<sub>6</sub> alkyl), -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>,

15



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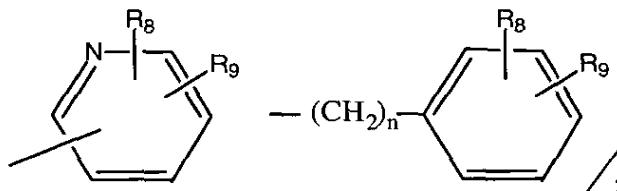
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$R_{11}$  is selected from H, C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> cycloalkyl, -CF<sub>3</sub>, -COOH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH,

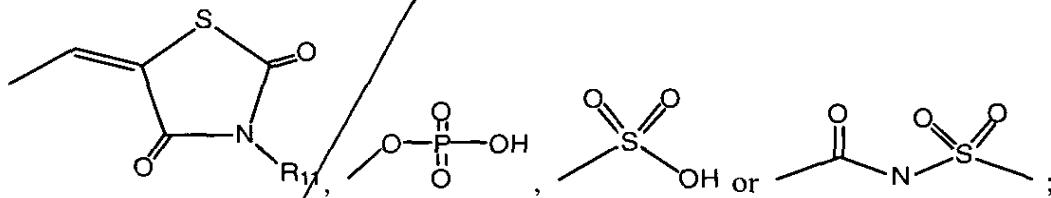
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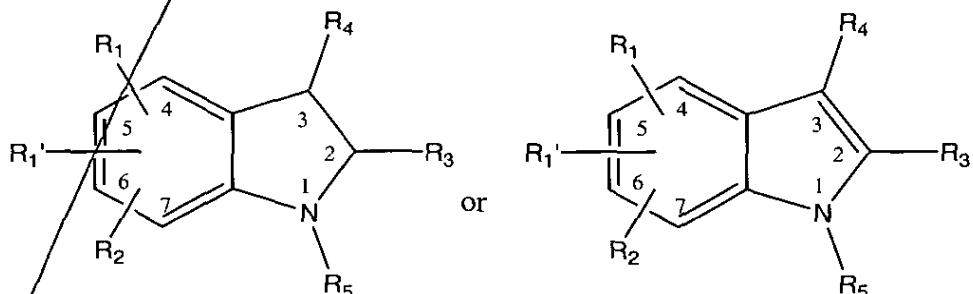
10 with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of R<sub>5</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, and/or R<sub>11</sub> shall contain at least one acidic moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of

the formulae: -C(O)-NH<sub>2</sub>, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-NH<sub>2</sub>,



15 n is an integer from 0 to 3;  
or a pharmaceutically acceptable salt thereof.

2. A compound of Claim 1 of the formula:



wherein:

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5         $R_1$  and  $R_1'$  are independently selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_{10}$  alkyl,  $-S-C_1-C_{10}$  alkyl,  $C_1-C_{10}$  alkoxy,  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-HN(C_1-C_6)$ ,  $-N(C_1-C_6)_2$ , phenyl,  $-O$ -phenyl,  $-S$ -phenyl, benzyl,  $-O$ -benzyl, or  $-S$ -benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ ,  $-CF_3$ , or  $-OH$ ;

10         $R_2$  is selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_{10}$  alkyl, preferably  $-C_1-C_6$  alkyl,  $C_1-C_{10}$  alkoxy, preferably  $C_1-C_6$  alkoxy,  $-CHO$ ,  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-NH-C_1-C_6$  alkyl,  $-N(C_1-C_6)$  alkyl,  $-N(SO_2-C_1-C_6)$  alkyl, or  $-SO_2-C_1-C_6$  alkyl;

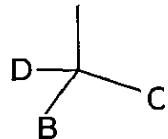
15         $R_3$  is selected from H,  $-CF_3$ ,  $C_1-C_6$  lower alkyl,  $C_1-C_6$  lower alkoxy,  $C_3-C_{10}$  cycloalkyl,  $-C_1-C_6$  alkyl,  $-C_3-C_{10}$  cycloalkyl,  $-CHO$ , halogen, or  $(CH_2)_nC(O)NH_2$  or a moiety of the formula  $-L^1-M^1$ :

20         $L^1$  indicates a linking or bridging group of the formulae  $-(CH_2)_n-$ ,  $-S-$ ,  $-O-$ ,  $-C(O)-$ ,  $-(CH_2)_n-C(O)-$ ,  $-(CH_2)_n-C(O)-(CH_2)_n-$ ,  $-(CH_2)_n-O-(CH_2)_n-$ , or  $-(CH_2)_n-S-(CH_2)_n-$ ,  $C(O)C(O)X$ ,  $-(CH_2)_n-N-(CH_2)_n-$ ,

25         $M^1$  is selected from: H,  $C_1-C_6$  lower alkyl,  $C_1-C_6$  lower alkoxy,  $C_3-C_{10}$  cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_{10}$  alkyl, preferably  $C_1-C_6$  alkyl,  $C_1-C_{10}$  alkoxy, preferably  $C_1-C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ , or  $-CF_3$ ;

30         $R_4$  is a moiety of the formulae  $-(CH_2)_n-A$ ,  $-(CH_2)_n-S-A$ , or  $-(CH_2)_n-O-A$ , wherein A is the moiety:

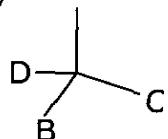
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wherein

10 D is H,  $C_1$ - $C_6$  lower alkyl,  $C_1$ - $C_6$  lower alkoxy, or  $-CF_3$ ;  
B and C are independently selected from phenyl, pyridinyl, furyl, thienyl, pyrimidinyl or pyrrolyl groups, each optionally substituted by from 1 to 3 substituents selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy, or  $-NO_2$ ;  
or a pharmaceutically acceptable salt thereof.

15 3. A compound of Claim 2 wherein  $R_4$  is the moiety:

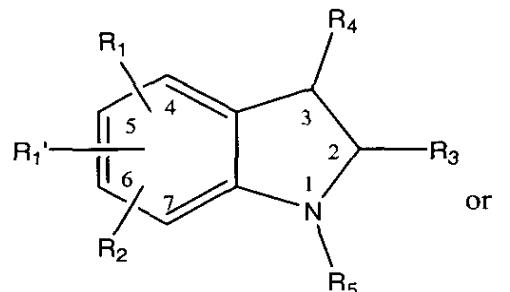


B and C are phenyl optionally substituted by from 1 to 3 substituents selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy, or  $-NO_2$ ; and  $R_1$ ,  $R_1'$ ,  $R_2$ ,  $R_3$ ,  $R_5$ ,  $L^1$ ,  $M^1$  and D are as defined in Claim 2; or a pharmaceutically acceptable salt thereof.

20

4. A compound of Claim 1 having the formulae:

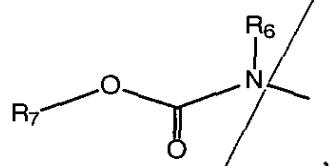
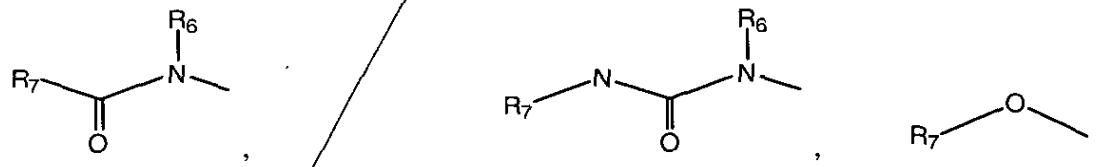
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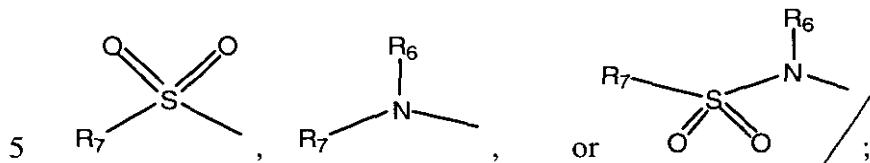
wherein:

10  $R_i$  and  $R_{i'}$  are independently selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_{10}$  alkyl, preferably  $-C_1-C_6$  alkyl,  $-S-C_1-C_{10}$  alkyl, preferably  $-S-C_1-C_6$  alkyl,  $C_1-C_{10}$  alkoxy, preferably  $C_1-C_6$  alkoxy,  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-HN(C_1-C_6)_2$ ,  $-N(C_1-C_6)_2$ , phenyl,  $-O$ -phenyl,  $-S$ -phenyl, benzyl,  $-O$ -benzyl,  $-S$ -benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ ,  $-CF_3$ , or  $-OH$ ;  
or  $R_i$  and  $R_{i'}$  are independently a moiety of the formulae:

15



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*Sub A*  
10       $R_6$  is selected from H,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy,  $-C(O)CH_3$ , phenyl,  $-O$ -phenyl, benzyl,  $-O$ -benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ ,  $-CF_3$ , or  $-OH$ ;

15       $R_7$  is selected from  $-(CH_2)_n-COOH$ ,  $-(CH_2)_n-N-(C_1-C_6\text{ alkyl})_2$ ,  $-(CH_2)_n-NH-(C_1-C_6\text{ alkyl})$ ,  $-CF_3$ ,  $C_1-C_6$  alkyl,  $C_3-C_5$  cycloalkyl,  $C_1-C_6$  alkoxy,  $-NH-(C_1-C_6\text{ alkyl})$ ,  $-N-(C_1-C_6\text{ alkyl})_2$ , pyridinyl, thienyl, furyl, pyrrolyl, quinolyl,  $(CH_2)_n$ phenyl, phenyl- $O$ -phenyl, benzyl,  $-O$ -benzyl, adamantyl, or morpholinyl,  $-(CH_2)_n$ -phenyl- $O$ -phenyl,  $-(CH_2)_n$ -phenyl- $CH_2$ -phenyl,  $-(CH_2)_n$ - $O$ -phenyl- $CH_2$ -phenyl,  $-(CH_2)_n$ -phenyl-( $O$ - $CH_2$ -phenyl)<sub>2</sub>, the rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $-NH_2$ ,  $-NO_2$ ,  $-CF_3$ ,  $CO_2H$ , or  $-OH$ ;

20

$R_2$  is selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_{10}$  alkyl, preferably  $-C_1-C_6$  alkyl,  $C_1-C_{10}$  alkoxy, preferably  $C_1-C_6$  alkoxy,  $-CHO$ ,  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-NH-C_1-C_6$  alkyl,  $-N(C_1-C_6\text{ alkyl})_2$ ,  $-N-SO_2-C_1-C_6$  alkyl, or  $-SO_2-C_1-C_6$  alkyl;

25       $R_3$  is selected from H,  $-CF_3$ ,  $C_1-C_6$  lower alkyl,  $C_1-C_6$  lower alkoxy,  $C_3-C_{10}$  cycloalkyl,  $-C_1-C_6$  alkyl,  $-C_3-C_{10}$  cycloalkyl,  $-CHO$ , halogen,  $(CH_2)_nC(O)NH_2$  or a moiety of the formula  $-L^1-M^1$ :

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5  $L^1$  indicates a linking or bridging group of the formulae  $-(CH_2)_n-$ ,  $-S-$ ,  $-O-$ ,  
 $-C(O)-$ ,  $-(CH_2)_n-C(O)-$ ,  $-(CH_2)_n-C(O)-(CH_2)_n-$ ,  $-(CH_2)_n-O-(CH_2)_n-$ , or  $-(CH_2)_n-S-(CH_2)_n-$ ,  
 $C(O)C(O)X$ ,  $-(CH_2)_n-N-(CH_2)_n$

$M^1$  is selected from:

10 a) H, the group of  $C_1$ - $C_6$  lower alkyl,  $C_1$ - $C_6$  lower alkoxy,  $C_3$ - $C_{10}$  cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1$ - $C_{10}$  alkyl, preferably  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_{10}$  alkoxy, preferably  $C_1$ - $C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ , or  $-CF_3$ ; or

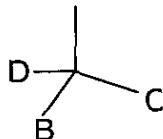
b) a five-membered heterocyclic ring containing one or two ring heteroatoms selected from N, S or O including, but not limited to, furan, pyrrole, thiophene, imidazole, pyrazole, isothiazole, isoxazole, pyrrolidine, pyrroline, imidazolidine, pyrazolidine, pyrazole, pyrazoline, imidazole, tetrazole, oxathiazole, the five-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, or -CF<sub>3</sub>; or

c) a six-membered heterocyclic ring containing one, two or three ring heteroatoms selected from N, S or O including, but not limited to, pyran, pyridine, pyrazine, pyrimidine, pyridazine, piperidine, piperazine, tetrazine, thiazine, thiadizine, oxazine, or morpholine, the six-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub> or -OH; or

5 d) a bicyclic ring moiety containing from 8 to 10 ring atoms and  
optionally containing from 1 to 3 ring heteroatoms selected from N, S or O including,  
but not limited to benzofuran, chromene, indole, isoindole, indoline, isoindoline,  
naphthalene, purine, indolizine, indazole, quinoline, isoquinoline, quinolizine,  
quinazoline, cinnoline, phthalazine, or naphthyridine, the bicyclic ring moiety being  
optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl,  
preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -NO<sub>2</sub>, -NH<sub>2</sub>, -  
CN, -CF<sub>3</sub> or -OH;

10 *Sub A*  
15 R<sub>4</sub> is selected from the group of C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, -  
(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>6</sub>  
cycloalkyl, or the groups of:

20 a) a moiety of the formulae -(CH<sub>2</sub>)<sub>n</sub>-A, -(CH<sub>2</sub>)<sub>n</sub>-S-A, or -(CH<sub>2</sub>)<sub>n</sub>-O-A,  
wherein A is the moiety:



25 wherein

D is H, C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, or -CF<sub>3</sub>;

B and C are independently selected from phenyl, pyridinyl, furyl, thieryl,  
pyrimidinyl or pyrrolyl groups, each optionally substituted by from 1 to 3, preferably

1 to 2, substituents selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy,  
or -NO<sub>2</sub>; or

b) a moiety of the formula -L<sup>2</sup>-M<sup>2</sup>, wherein:

5         $L^2$  indicates a linking or bridging group of the formulae  $-(CH_2)_n-$ ,  $-S-$ ,  $-O-$ ,  
- $SO_2-$ ,  $-C(O)-$ ,  $-(CH_2)_n-C(O)-$ ,  $-(CH_2)_n-C(O)-(CH_2)_n-$ ,  $-(CH_2)_n-O-(CH_2)_n-$ , or  $-(CH_2)_n-S-$   
 $(CH_2)_n-$ ,  $-C(O)C(O)X$ ;  
where  $X = O, N$

10         $M^2$  is selected from the group of  $C_1-C_6$  lower alkyl,  $C_1-C_6$  lower alkoxy,  $C_3-C_{10}$  cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being  
optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_{10}$  alkyl,  
preferably  $C_1-C_6$  alkyl,  $C_1-C_{10}$  alkoxy, preferably  $C_1-C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ , or  
 $-CF_3$ ; or

15        i)        a five-membered heterocyclic ring containing one or two ring  
heteroatoms selected from N, S or O including, but not limited to, furan, pyrrole,  
thiophene, imidazole, pyrazole, pyrrolidine, or tetrazole, the five-membered  
heterocyclic ring being optionally substituted by from 1 to 3 substituents selected  
from halogen,  $C_1-C_{10}$  alkyl, preferably  $C_1-C_6$  alkyl,  $C_1-C_{10}$  alkoxy, preferably  $C_1-C_6$   
alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ , or  $-CF_3$ ; or

20        ii)        a six-membered heterocyclic ring containing one, two or three ring  
heteroatoms selected from N, S or O including, but not limited to pyridine,  
pyrimidine, piperidine, piperazine, or morpholine, the six-membered heterocyclic ring  
being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_{10}$   
alkyl, preferably  $C_1-C_6$  alkyl,  $C_1-C_{10}$  alkoxy, preferably  $C_1-C_6$  alkoxy,  $-CHO$ ,  $-NO_2$ ,  
 $-NH_2$ ,  $-CN$ ,  $-CF_3$  or  $-OH$ ; or

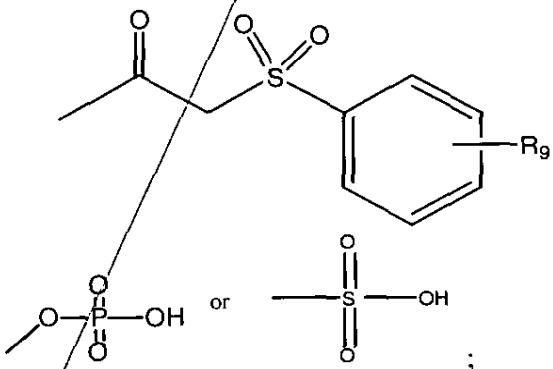
25        iii)        a bicyclic ring moiety containing from 8 to 10 ring atoms and  
optionally containing from 1 to 3 ring heteroatoms selected from N, S or O including,

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5 but not limited to benzofuran, indole, indoline, naphthalene, purine, or quinoline, the bicyclic ring moiety being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>10</sub> alkyl, preferably C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub> or -OH;

10 n is an integer from 0 to 3;

*Sub A*  
R<sub>5</sub> is selected from -COOH, -C(O)-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, (CH<sub>2</sub>)<sub>n</sub>-CH=CH-COOH, -(CH<sub>2</sub>)<sub>n</sub>-tetrazole, -CH<sub>2</sub>-phenyl-C(O)-benzothiazole, or

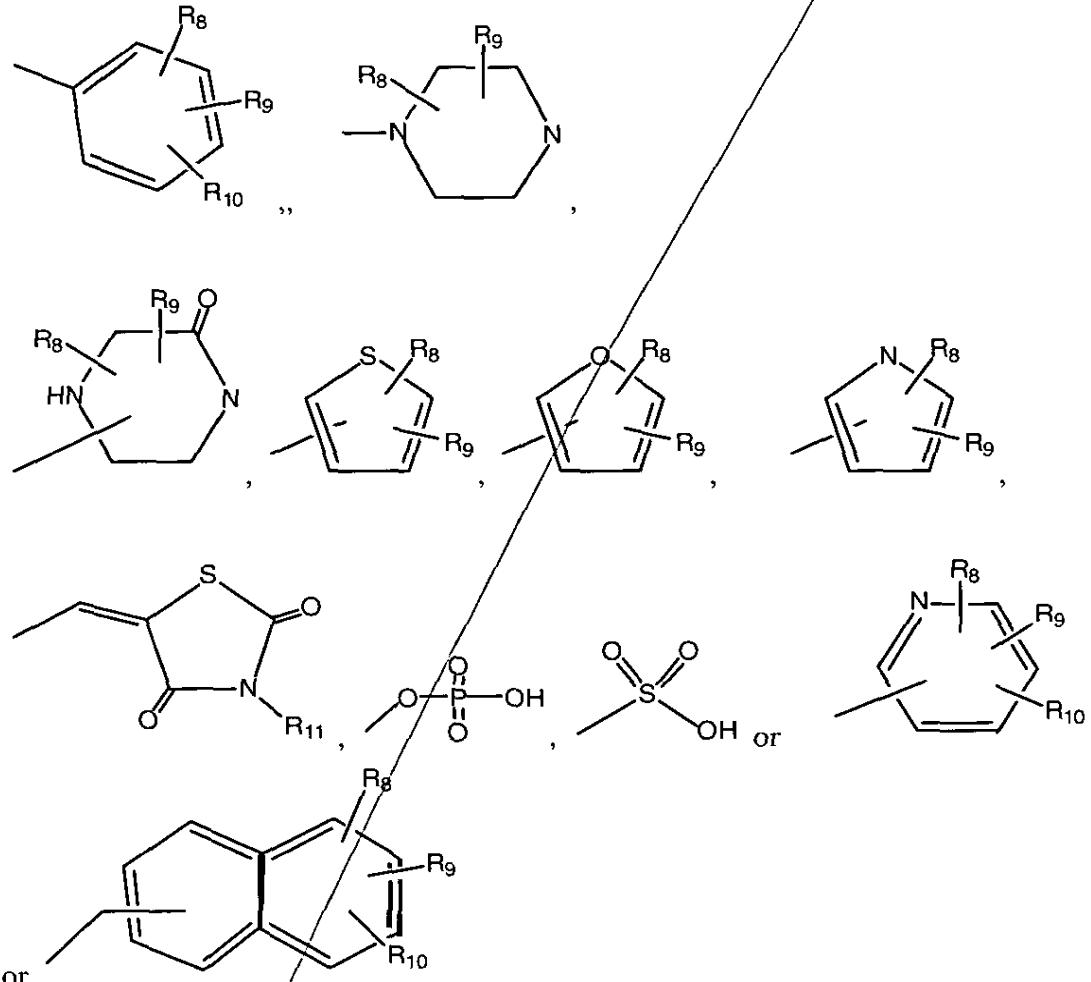


15

or a moiety selected from the formulae -L<sup>3</sup>-M<sup>3</sup>;

wherein L<sup>3</sup> is a bridging or linking moiety selected from a chemical bond, -(CH<sub>2</sub>)<sub>n</sub>-, -S-, -O-, -SO<sub>2</sub>-, -C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-, -C(Z)-N(R<sub>6</sub>)-, -C(Z)-N(R<sub>6</sub>)-(CH<sub>2</sub>)<sub>n</sub>-, -C(O)-C(Z)-N(R<sub>6</sub>)-, -C(O)-C(Z)-N(R<sub>6</sub>)-(CH<sub>2</sub>)<sub>n</sub>-, -C(Z)-NH-SO<sub>2</sub>-, -C(Z)-NH-SO<sub>2</sub>-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-SO-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-SO<sub>2</sub>-(CH<sub>2</sub>)<sub>n</sub>-, or -(CH<sub>2</sub>)<sub>n</sub>-CH=CH-(CH<sub>2</sub>)<sub>n</sub>-O-;

5  $M^3$  is selected from the group of  $-\text{COOH}$ ,  $-(\text{CH}_2)_n\text{-COOH}$ ,  $-(\text{CH}_2)_n\text{-C(O)-COOH}$ , tetrazole,



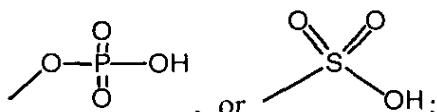
where  $R_8$ ,  $R_9$  or  $R_{10}$  can be attached anywhere in the cyclic or bicyclic system,  
 $n$  is an integer from 0 to 3;

15

$R_8$ , in each appearance, is independently selected from  $\text{H}$ ,  $-\text{COOH}$ ,  $-(\text{CH}_2)_n\text{-COOH}$ ,  $-(\text{CH}_2)_n\text{-C(O)-COOH}$ , tetrazole,  $-\text{C(O)-NH}_2$ ,  $-(\text{CH}_2)_n\text{-C(O)-NH}_2$ ,

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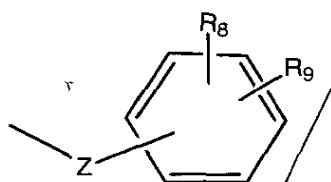
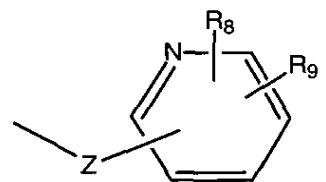
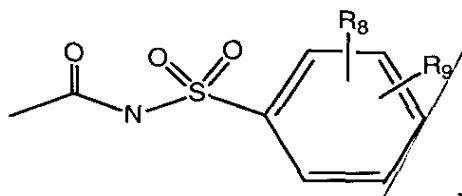


n is an integer from 0 to 3;

R<sub>9</sub> is selected from H, halogen, -CF<sub>3</sub>, -OH, -COOH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, -C<sub>1</sub>-C<sub>6</sub> alkyl, -O-C<sub>1</sub>-C<sub>6</sub> alkyl, -NH(C<sub>1</sub>-C<sub>6</sub> alkyl), or -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>;

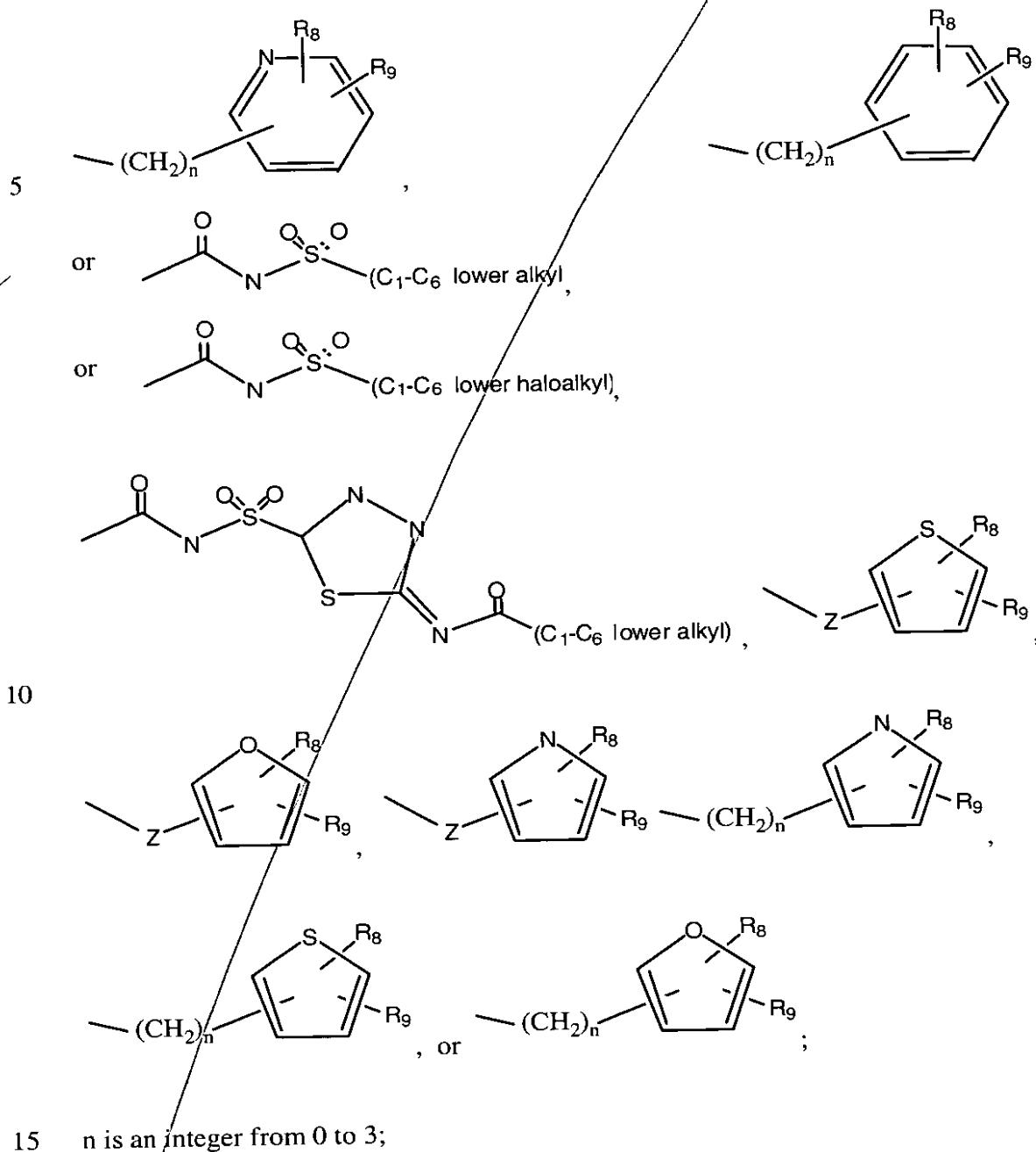
n is an integer from 0 to 3;

R<sub>10</sub> is selected from the group of H, halogen, -CF<sub>3</sub>, -OH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, 15 -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, -C<sub>1</sub>-C<sub>6</sub> alkyl, -O-C<sub>1</sub>-C<sub>6</sub> alkyl, -NH(C<sub>1</sub>-C<sub>6</sub> alkyl), -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>,



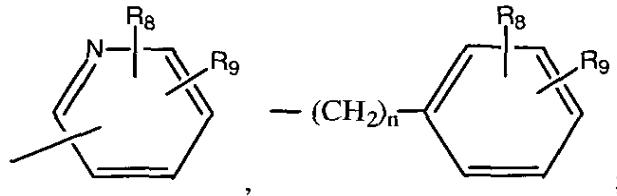
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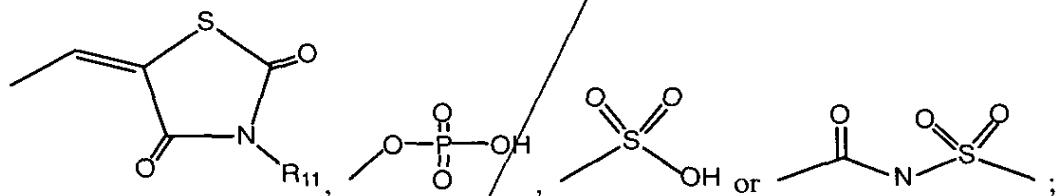


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5  $R_{11}$  is selected from H,  $C_1-C_6$  lower alkyl,  $C_1-C_6$  cycloalkyl,  $-CF_3$ ,  $-COOH$ ,  $-(CH_2)_n-COOH$ ,  $-(CH_2)_n-C(O)-COOH$ ,

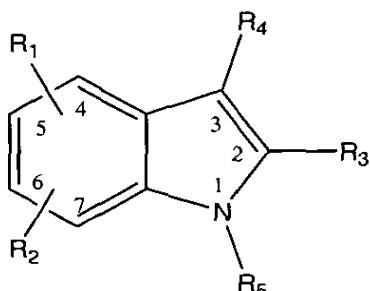
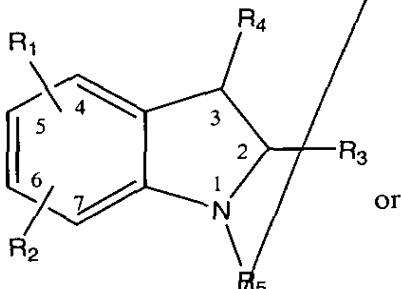


10 with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of  $R_5$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$ , and/or  $R_{11}$  shall contain at least one acidic moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of the formulae:  $-C(O)-NH_2$ ,  $-(CH_2)_n-C(O)-NH_2$ ,



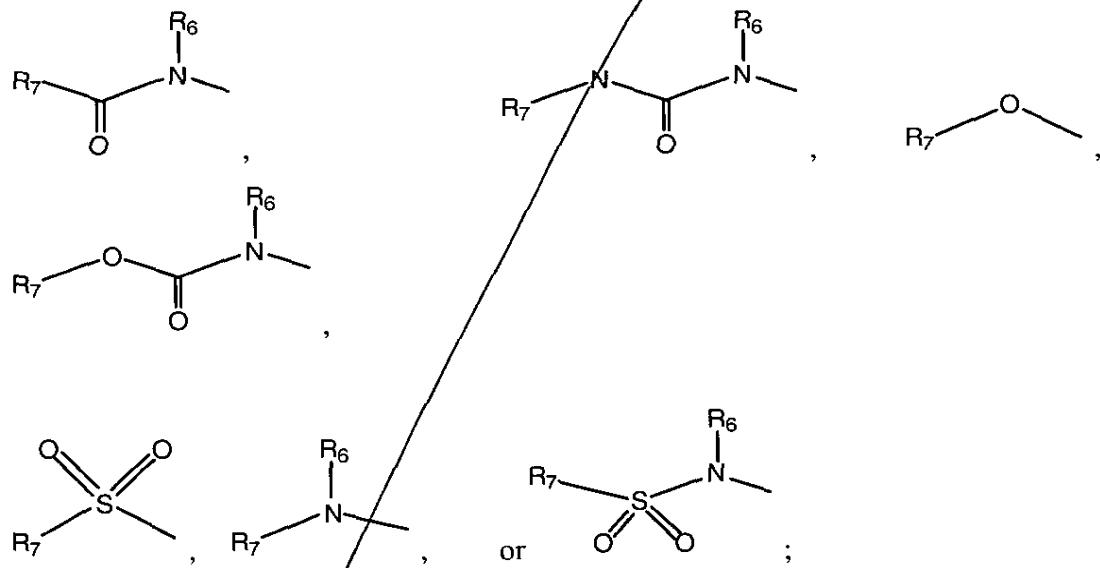
15  $n$  is an integer from 0 to 3;  
or a pharmaceutically acceptable salt thereof.

5. A compound of Claim 1 having the formulae:



wherein:

5         $R_1$  is selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_{10}$  alkyl, preferably  $-C_1-C_6$  alkyl,  $-S-C_1-C_{10}$  alkyl, preferably  $-S-C_1-C_6$  alkyl,  $C_1-C_{10}$  alkoxy, preferably  $C_1-C_6$  alkoxy,  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-HN(C_1-C_6)$ ,  $-N(C_1-C_6)_2$ , phenyl,  $-O$ -phenyl,  $-S$ -phenyl, benzyl,  $-O$ -benzyl,  $-S$ -benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ ,  $-CF_3$ , or  $-OH$ ;  
10        or  $R_1$  and  $R_1'$  are independently a moiety of the formulae:



20         $R_6$  is selected from H,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $-C(O)CH_3$ , phenyl,  $-O$ -phenyl, benzyl,  $-O$ -benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ ,  $-CF_3$ , or  $-OH$ ;

5        *R<sub>1</sub>* is selected from -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-N-(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -(CH<sub>2</sub>)<sub>n</sub>-NH-(C<sub>1</sub>-C<sub>6</sub> alkyl), -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>5</sub> cycloalkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH-(C<sub>1</sub>-C<sub>6</sub> alkyl), -N-(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, pyridinyl, thienyl, furyl, pyrrolyl, quinolyl, (CH<sub>2</sub>)<sub>n</sub>phenyl, phenyl-O-phenyl, benzyl, -O-benzyl, adamantyl, or morpholinyl, -(CH<sub>2</sub>)<sub>n</sub>-phenyl-O-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-phenyl-CH<sub>2</sub>-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-O-phenyl-CH<sub>2</sub>-phenyl, -(CH<sub>2</sub>)<sub>n</sub>-phenyl-(O-CH<sub>2</sub>-phenyl)<sub>2</sub>, the rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH<sub>2</sub>, -NO<sub>2</sub>, -CF<sub>3</sub>, CO<sub>2</sub>H, or -OH;

*Sub A/C*

10      *R<sub>2</sub>* is selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -CN, -NO<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1</sub>-C<sub>6</sub> alkyl, -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -N-SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl, or -SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl;

15      *R<sub>3</sub>* is selected from H, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, -C<sub>1</sub>-C<sub>6</sub> alkyl, -C<sub>3</sub>-C<sub>10</sub> cycloalkyl, -CHO, halogen, -(CH<sub>2</sub>)<sub>n</sub>C(O)NH<sub>2</sub> or a moiety of the formula -L<sup>1</sup>-M<sup>1</sup>:

20      L<sup>1</sup> indicates a linking or bridging group of the formulae -(CH<sub>2</sub>)<sub>n</sub>-, -S-, -O-, -C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, or -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-, C(O)C(O)X, or -(CH<sub>2</sub>)<sub>n</sub>-N-(CH<sub>2</sub>)<sub>n</sub>;

25      where X is O or N

M<sup>1</sup> is selected from:

30      a) H, the group of C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being optionally substituted

*Sub A1 X 10*

5 by from 1 to 3 substituents selected from halogen,  $C_1$ - $C_{10}$  alkyl, preferably  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_{10}$  alkoxy, preferably  $C_1$ - $C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ , or  $-CF_3$ ; or

15 b) a five-membered heterocyclic ring containing one or two ring heteroatoms selected from N, S or O including, but not limited to, furan, pyrrole, thiophene, imidazole, pyrazole, isothiazole, isoxazole, pyrrolidine, pyrroline, imidazolidine, pyrazolidine, pyrazole, pyrazoline, imidazole, tetrazole, oxathiazole, the five-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1$ - $C_{10}$  alkyl, preferably  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_{10}$  alkoxy, preferably  $C_1$ - $C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ , or  $-CF_3$ ; or

20 c) a six-membered heterocyclic ring containing one, two or three ring heteroatoms selected from N, S or O including, but not limited to, pyran, pyridine, pyrazine, pyrimidine, pyridazine, piperidine, piperazine, tetrazine, thiazine, thiadizine, oxazine, or morpholine, the six-membered heterocyclic ring being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1$ - $C_{10}$  alkyl, preferably  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_{10}$  alkoxy, preferably  $C_1$ - $C_6$  alkoxy,  $-CHO$ ,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ ,  $-CF_3$  or  $-OH$ ; or

25 d) a bicyclic ring moiety containing from 8 to 10 ring atoms and optionally containing from 1 to 3 ring heteroatoms selected from N, S or O including, but not limited to benzofuran, chromene, indole, isoindole, indoline, isoindoline, naphthalene, purine, indolizine, indazole, quinoline, isoquinoline, quinolizine, quinazoline, cinnoline, phthalazine, or napthyridine, the bicyclic ring moiety being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1$ - $C_{10}$  alkyl, preferably  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_{10}$  alkoxy, preferably  $C_1$ - $C_6$  alkoxy,  $-CHO$ ,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ ,  $-CF_3$  or  $-OH$ ;

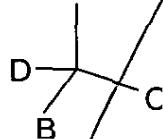
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5

*Sub A*  
 $R_4$  is selected from the group of  $C_1$ - $C_6$  lower alkyl,  $C_1$ - $C_6$  lower alkoxy,  $-(CH_2)_n$ - $C_3$ - $C_6$  cycloalkyl,  $-(CH_2)_n$ -S-( $CH_2)_n$ - $C_3$ - $C_5$  cycloalkyl,  $-(CH_2)_n$ -O-( $CH_2)_n$ - $C_3$ - $C_5$  cycloalkyl, or the groups of:

10

a) a moiety of the formulae  $-(CH_2)_n$ -A,  $-(CH_2)_n$ -S-A, or  $-(CH_2)_n$ -O-A, wherein A is the moiety:



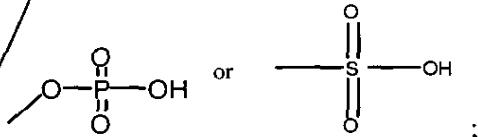
wherein

15

B and C are independently selected from phenyl, pyridinyl, furyl, thieryl, pyrimidinyl or pyrrolyl groups, each optionally substituted by from 1 to 3, preferably 1 to 2, substituents selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy, or  $-NO_2$ ;

20

$R_s$  is selected from  $-COOH$ ,  $-C(O)-COOH$ ,  $-(CH_2)_n-C(O)-COOH$ ,  $-(CH_2)_n-COOH$ ,  $(CH_2)_n-CH=CH-COOH$ ,  $-(CH_2)_n$ -tetrazole, or



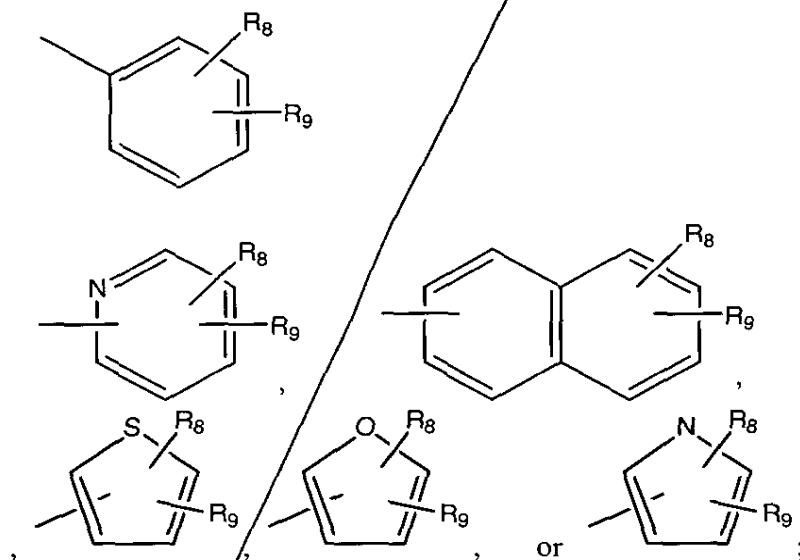
or a moiety selected from the formulae  $-L^3-M^3$ ;

25

5 wherein  $L^3$  is a bridging or linking moiety selected from a chemical bond,  $-(CH_2)_n-$ ,  $-SO_2-$ ,  $-C(O)-$ ,  $-(CH_2)_n-C(O)-$ ,  $-(CH_2)_n-C(O)-(CH_2)_n-$ ,  $-(CH_2)_n-O-(CH_2)_n-$ ,  $-(CH_2)_n-S-(CH_2)_n-$ ,  $-(CH_2)_n-SO-(CH_2)_n-$ ,  $-(CH_2)_n-SO_2-(CH_2)_n-$ , or  $-(CH_2)_n-CH=CH-(CH_2)_n-O-$ ;

*Sud  
H  
Cmt*  
M<sup>3</sup> is selected from the group of  $-COOH$ ,  $-(CH_2)_n-COOH$ ,  $-(CH_2)_n-C(O)-COOH$ , tetrazole,

10



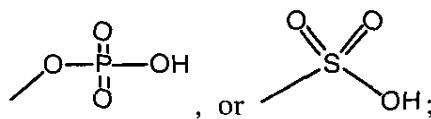
where  $R_8$ ,  $R_9$  can be attached anywhere in the cyclic or bicyclic system,  
n is an integer from 0 to 3;

15

$R_8$ , in each appearance, is independently selected from H,  $-COOH$ ,  $-(CH_2)_n-COOH$ ,  $-(CH_2)_n-C(O)-COOH$ , tetrazole,  $-C(O)-NH_2$ ,  $-(CH_2)_n-C(O)-NH_2$ ,

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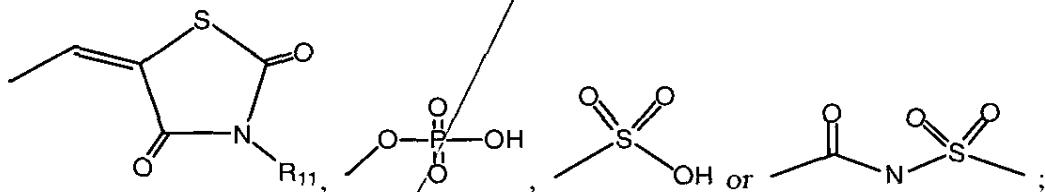


5 *Sulf*  
*at 1/2*  
*May*  
*1/2*  
*n is an integer from 0 to 3;*

10  $R_9$  is selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-COOH$ ,  $-(CH_2)_n-COOH$ ,  
 $-(CH_2)_n-C(O)-COOH$ ,  $-C_1-C_6$  alkyl,  $-O-C_1-C_6$  alkyl,  $-NH(C_1-C_6$  alkyl), or  $-N(C_1-C_6$  alkyl) $_2$ ;

15  $n$  is an integer from 0 to 3;

with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of  $R_5$ ,  $R_8$ ,  $R_9$ , shall contain at least one acidic  
15 moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of the  
formulae:  $-C(O)-NH_2$ ,  $-(CH_2)_n-C(O)-NH_2$ ,

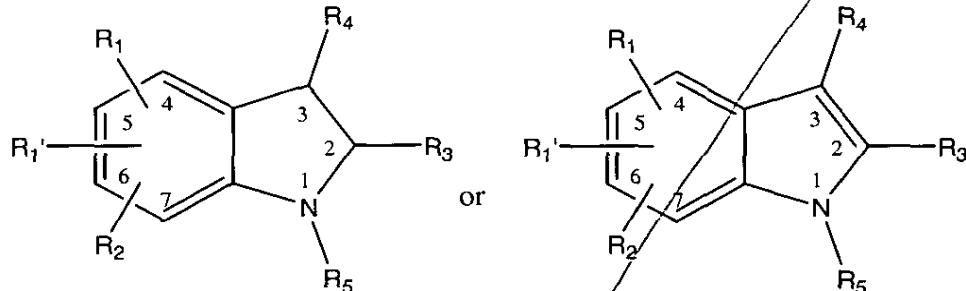


20  $n$  is an integer from 0 to 3;  
or a pharmaceutically acceptable salt thereof.

6. A compound of Claim 1 having the formulae:

09522000-09522000

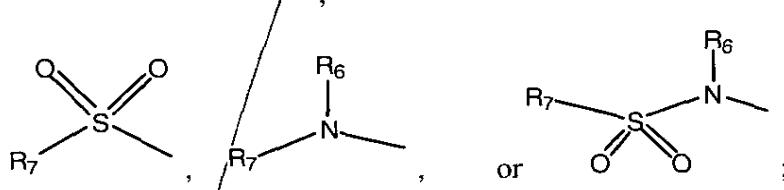
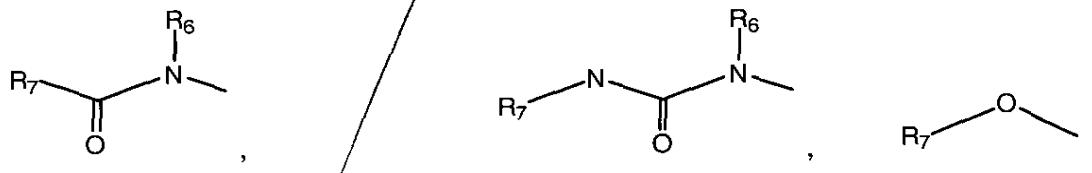
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wherein:

10  $R_1$  is selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_{10}$  alkyl, preferably  $-C_1-C_6$  alkyl,  $-S-C_1-C_{10}$  alkyl, preferably  $-S-C_1-C_6$  alkyl,  $C_1-C_{10}$  alkoxy, preferably  $C_1-C_6$  alkoxy,  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-HN(C_1-C_6)$ ,  $-N(C_1-C_6)_2$ , phenyl,  $-O-phenyl$ ,  $-S-phenyl$ , benzyl,  $-O-benzyl$ ,  $-S-benzyl$ , the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ ,  $-CF_3$ , or  $-OH$ ;  
or  $R_1$  and  $R_1'$  are independently a moiety of the formulae:  
or a moiety of the formulae:

15



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5

$R_6$  is selected from H,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy,  $-C(O)CH_3$ , phenyl, -O-phenyl, benzyl, -O-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ ,  $-CF_3$ , or  $-OH$ ;

10

$R_7$  is selected from  $-(CH_2)_n-COOH$ ,  $-(CH_2)_n-N-(C_1-C_6\text{ alkyl})_2$ ,  $-(CH_2)_n-NH-(C_1-C_6\text{ alkyl})$ ,  $-CF_3$ ,  $C_1-C_6\text{ alkyl}$ ,  $C_3-C_5\text{ cycloalkyl}$ ,  $C_1-C_6\text{ alkoxy}$ ,  $-NH-(C_1-C_6\text{ alkyl})$ ,  $-N-(C_1-C_6\text{ alkyl})_2$ , pyridinyl, thienyl, furyl, pyrrolyl, quinolyl,  $(CH_2)_n$ phenyl, phenyl-O-phenyl, benzyl, -O-benzyl, adamantyl, or morpholinyl,  $-(CH_2)_n$ -phenyl-O-phenyl,  $-(CH_2)_n$ -phenyl-CH<sub>2</sub>-phenyl,  $-(CH_2)_n$ -O-phenyl-CH<sub>2</sub>-phenyl,  $-(CH_2)_n$ -phenyl-(O-CH<sub>2</sub>-phenyl)<sub>2</sub>, the rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_6\text{ alkyl}$ ,  $C_1-C_6\text{ alkoxy}$ ,  $-NH_2$ ,  $-NO_2$ ,  $-CF_3$ ,  $CO_2H$ , or  $-OH$ ;

20

$R_2$  is selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_{10}$  alkyl, preferably  $-C_1-C_6$  alkyl,  $C_1-C_{10}$  alkoxy, preferably  $C_1-C_6$  alkoxy,  $-CHO$ ,  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-NH-C_1-C_6$  alkyl,  $-N(C_1-C_6\text{ alkyl})_2$ ,  $-N(SO_2-C_1-C_6\text{ alkyl})$ , or  $-SO_2-C_1-C_6\text{ alkyl}$ ;

$R_3$  is selected from H,  $-CF_3$ ,  $C_1$ - $C_6$  lower alkyl,  $C_1$ - $C_6$  lower alkoxy,  $C_3$ - $C_{10}$  cycloalkyl,  $-C_1$ - $C_6$  alkyl,  $-C_3$ - $C_{10}$  cycloalkyl, -CHO, halogen,  $(CH_2)_nC(O)NH_2$  or a moiety of the formula  $-L^1-M^1$ :

30

$L'$  indicates a linking or bridging group of the formulae  $-(CH_2)_n-$ ,  $-C(O)-$ ,  $-(CH_2)_n-C(O)-$ ,  $-(CH_2)_n-C(O)-(CH_2)_n-$ ,  $-(CH_2)_n-O-(CH_2)_n-$ , or  $-(CH_2)_n-S-(CH_2)_n-$ ,  $C(O)C(O)X$ ,  $-(CH_2)_n-N-(CH_2)_n$ ;

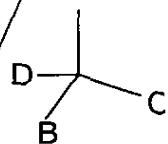
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5  $M^1$  is selected from:

10 a) H, the group of  $C_1$ - $C_6$  lower alkyl,  $C_1$ - $C_6$  lower alkoxy,  $C_3$ - $C_{10}$  cycloalkyl, phenyl or benzyl, the cycloalkyl, phenyl or benzyl rings being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1$ - $C_{10}$  alkyl, preferably  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_{10}$  alkoxy, preferably  $C_1$ - $C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ , or  $-CF_3$ ; or

15  $R_4$  is selected from the group of  $C_1$ - $C_6$  lower alkyl,  $C_1$ - $C_6$  lower alkoxy,  $-(CH_2)_n-C_3-C_6$  cycloalkyl,  $-(CH_2)_n-S-(CH_2)_n-C_3-C_5$  cycloalkyl,  $-(CH_2)_n-O-(CH_2)_n-C_3-C_5$  cycloalkyl, or the groups of:

15 a) a moiety of the formulae  $-(CH_2)_n-A$ ,  $-(CH_2)_n-S-A$ , or  $-(CH_2)_n-O-A$ , wherein A is the moiety:



wherein

20 D is H,  $C_1$ - $C_6$  lower alkyl,  $C_1$ - $C_6$  lower alkoxy, or  $-CF_3$ ;

B and C are independently selected from phenyl, pyridinyl, furyl, thienyl, pyrimidinyl or pyrrolyl groups, each optionally substituted by from 1 to 3, preferably 1 to 2, substituents selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy, or  $-NO_2$ ;

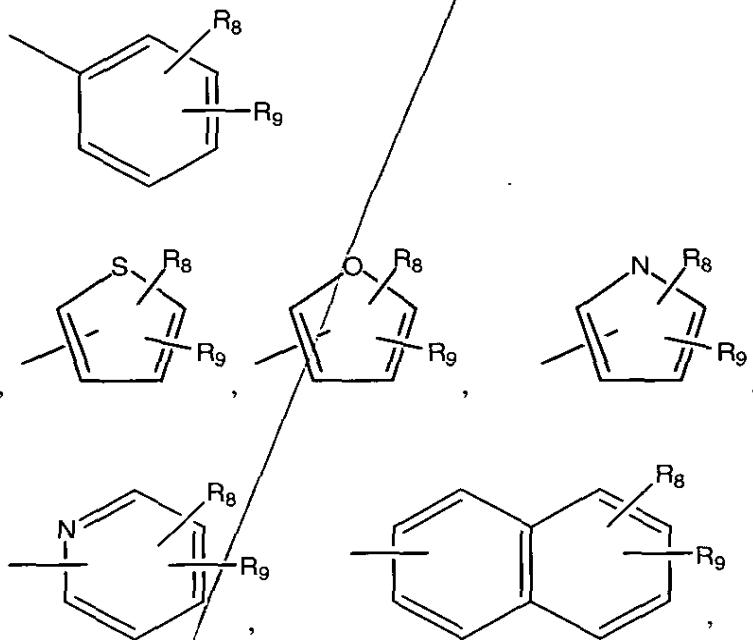
25  $R_5$  is selected from  $-COOH$ ,  $-C(O)-COOH$ ,  $-(CH_2)_n-C(O)-COOH$ ,  $-(CH_2)_n-COOH$ ,  $(CH_2)_n-CH=CH-COOH$ ,  $-(CH_2)_n$ -tetrazole, or a moiety selected from the formulae  $-L^3-M^3$ ;

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5 wherein  $L^3$  is a bridging or linking moiety selected from a chemical bond,  $-(CH_2)_n-$ ,  $-(CH_2)_n-C(O)-(CH_2)_n-$ ,  $-(CH_2)_n-O-(CH_2)_n-$ ,  $-(CH_2)_n-S-(CH_2)_n-$ ,  $-(CH_2)_n-SO-(CH_2)_n-$ ,  $-(CH_2)_n-SO_2-(CH_2)_n-$ , or  $-(CH_2)_n-CH=CH-(CH_2)_n-O-$ ;

$M^3$  is selected from the group of  $-COOH$ ,  $-(CH_2)_n-COOH$ ,  $-(CH_2)_n-C(O)-COOH$ , tetrazole,

10



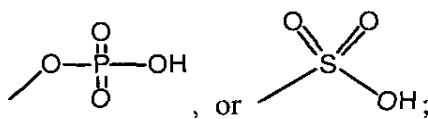
where  $R_8, R_9$  can be attached anywhere in the cyclic or bicyclic system,  $n$  is an integer from 0 to 3;

15

$R_8$ , in each appearance, is independently selected from H, -COOH,  $-(CH_2)_n-$ COOH,  $-(CH_2)_n-C(O)-COOH$ , tetrazole,  $-C(O)-NH_2$ ,  $-(CH_2)_n-C(O)-NH_2$ ,

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5



*n* is an integer from 0 to 3;

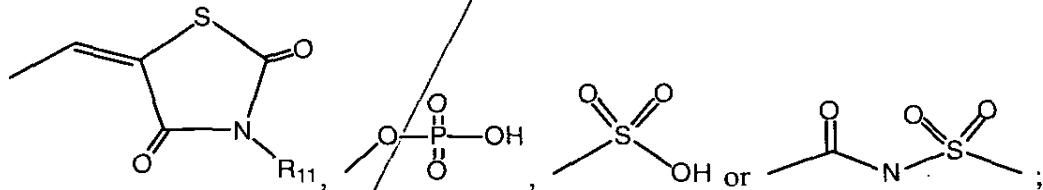
10

*R*<sub>9</sub> is selected from H, halogen, -CF<sub>3</sub>, -OH, -COOH, -(CH<sub>2</sub>)<sub>n</sub>-COOH, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-COOH, -C<sub>1</sub>-C<sub>6</sub> alkyl, -O-C<sub>1</sub>-C<sub>6</sub> alkyl, -NH(C<sub>1</sub>-C<sub>6</sub> alkyl), or -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>;

*n* is an integer from 0 to 3;

15

with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of R<sub>5</sub>, R<sub>8</sub>, R<sub>9</sub>, shall contain at least one acidic moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of the formulae: -C(O)-NH<sub>2</sub>, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-NH<sub>2</sub>,



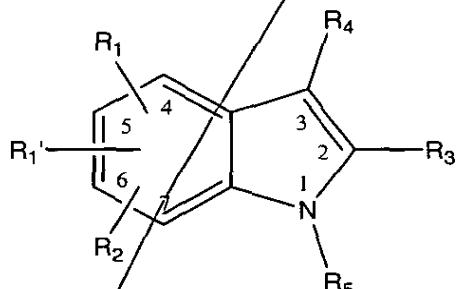
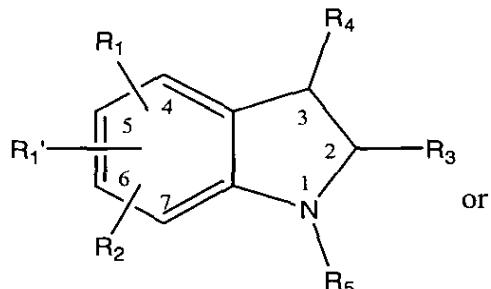
*n* is an integer from 0 to 3;

or a pharmaceutically acceptable salt thereof.

20

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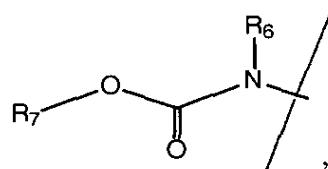
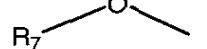
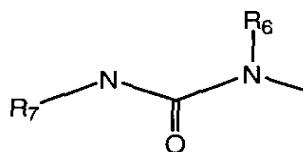
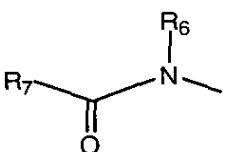
5 7. A compound of Claim 1 having the formulae:



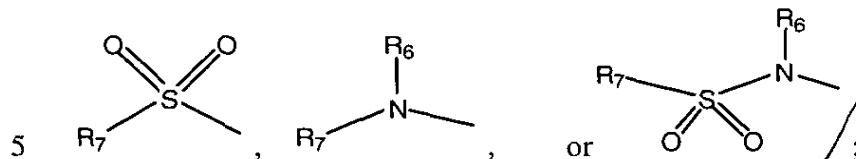
wherein:

10  $R_1$  and  $R_1'$  are independently selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-C_1-C_{10}$  alkyl, preferably  $-C_1-C_6$  alkyl,  $-S-C_1-C_{10}$  alkyl, preferably  $-S-C_1-C_6$  alkyl,  $C_1-C_{10}$  alkoxy, preferably  $C_1-C_6$  alkoxy,  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-HN(C_1-C_6)$ ,  $-N(C_1-C_6)_2$ , phenyl,  $-O-phenyl$ ,  $-S-phenyl$ , benzyl,  $-O-benzyl$ ,  $-S-benzyl$ , the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $-NO_2$ ,  $-NH_2$ ,  $-CN$ ,  $-CF_3$ , or  $-OH$ ;

15 or  $R_1$  and  $R_1'$  are independently a moiety of the formulae:



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*Sub A*  
10       $\text{R}_6$  is selected from H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, phenyl, -O-phenyl, benzyl, -O-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub>, or -OH;

15       $\text{R}_7$  is selected from -OH, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH-(C<sub>1</sub>-C<sub>6</sub> alkyl), -N-(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, pyridinyl, thienyl, furyl, pyrrolyl, phenyl, -O-phenyl, benzyl, -O-benzyl, the rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, -CN, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CF<sub>3</sub>, or -OH;

20       $\text{R}_2$  is selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -CN, -NO<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1</sub>-C<sub>6</sub> alkyl, -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -N-SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl, or -SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl;

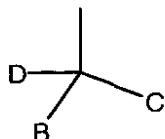
25       $\text{R}_3$  is selected from H, -C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -C<sub>1</sub>-C<sub>6</sub> alkyl, -(CH<sub>2</sub>)-OH, (CH<sub>2</sub>)<sub>n</sub>C(O)NH<sub>2</sub>, -CH<sub>2</sub>-O-(C<sub>1</sub>-C<sub>6</sub> alkyl), -CH<sub>2</sub>-O-CH<sub>2</sub>-phenyl, -CH<sub>2</sub>-N-(C<sub>1</sub>-C<sub>6</sub> alkyl), -CH<sub>2</sub>-N-CH<sub>2</sub>-phenyl, the phenyl rings of which are optionally substituted by 1 or 2 groups selected from H, halogen, -CF<sub>3</sub> or -C<sub>1</sub>-C<sub>6</sub> alkyl;

X is O or N  
n = 0 or 1;

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5

*Sub A1*  
R<sub>4</sub> is a moiety of the formulae -(CH<sub>2</sub>)<sub>n</sub>-A, -(CH<sub>2</sub>)<sub>n</sub>-S-A, or -(CH<sub>2</sub>)<sub>n</sub>-O-A, wherein  
A is the moiety:



wherein

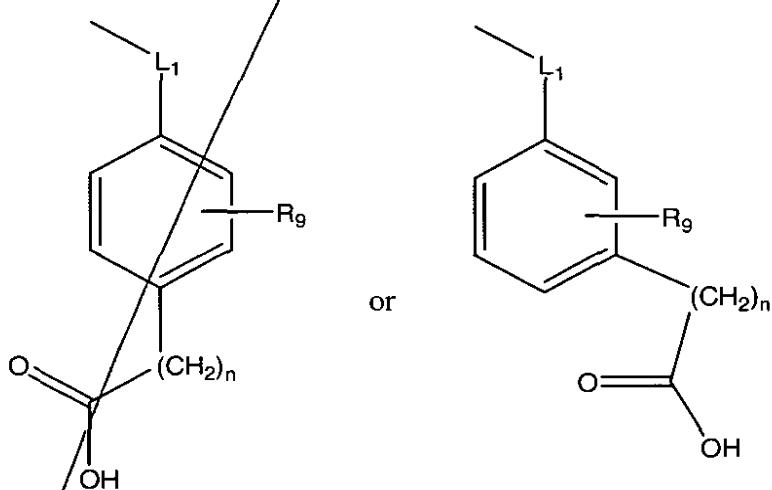
10

D is H, C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, or -CF<sub>3</sub>;

B and C are independently selected from phenyl, pyridinyl, furyl, thienyl or pyrrolyl groups, each optionally substituted by from 1 to 3, preferably 1 to 2, substituents selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or -NO<sub>2</sub>;

15

R<sub>5</sub> is a moiety selected from the groups of:



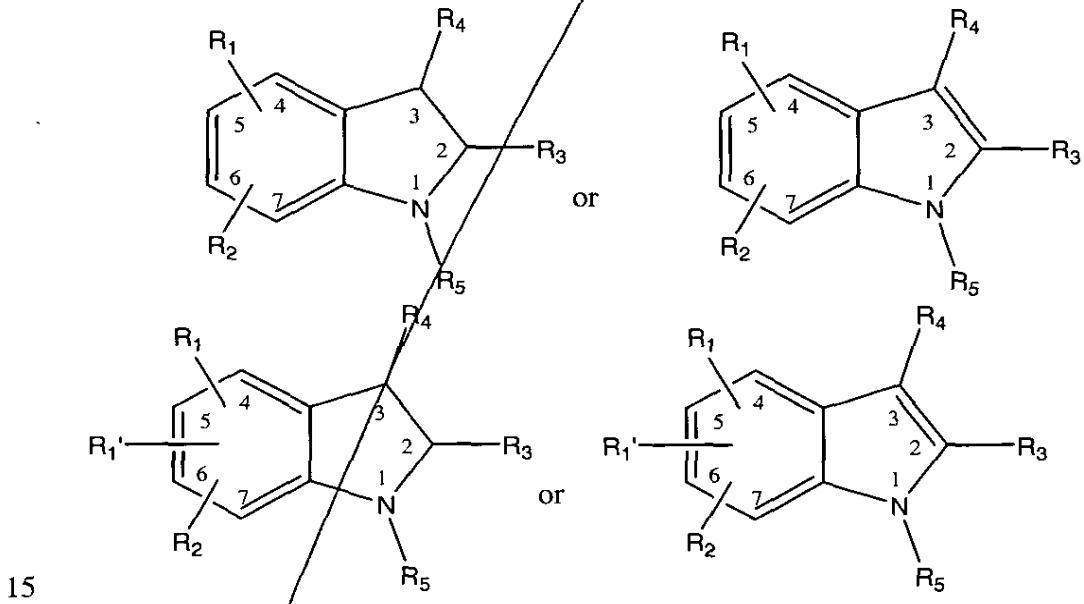
20

5 wherein L<sup>1</sup> is a bridging or linking moiety selected from a chemical bond, -(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-SO-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-SO<sub>2</sub>-(CH<sub>2</sub>)<sub>n</sub>-, or -(CH<sub>2</sub>)<sub>n</sub>-CH=CH-(CH<sub>2</sub>)<sub>n</sub>-O-;

where n' is an integer from 0 to 5;

10 R<sub>9</sub> is selected from -CF<sub>3</sub>, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH(C<sub>1</sub>-C<sub>6</sub> alkyl), or -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>,  
n in each instance is independently selected as an integer from 0 to 3;  
or a pharmaceutically acceptable salt thereof.

8. A compound of Claim 1 having the formulae:



wherein:

R<sub>1</sub> is selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -C<sub>1</sub>-C<sub>6</sub> alkyl, -S-C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -S-C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -ON, -NO<sub>2</sub>, -NH<sub>2</sub>, -HN(C<sub>1</sub>-C<sub>6</sub>), -N(C<sub>1</sub>-C<sub>6</sub>)<sub>2</sub>, phenyl, -O-phenyl, -S-phenyl,

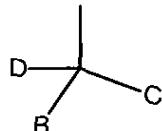
5    benzyl, -O-benzyl, -S-benzyl, the phenyl and benzyl rings of these groups being  
optionally substituted by from 1 to 3 substituents selected from halogen, C<sub>1</sub>-C<sub>6</sub> alkyl,  
C<sub>1</sub>-C<sub>6</sub> alkoxy, -NO<sub>2</sub>, -NH<sub>2</sub>, -CN, -CF<sub>3</sub>, or -OH;

10    R<sub>2</sub> is selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -C<sub>1</sub>-C<sub>6</sub>  
alkyl, C<sub>1</sub>-C<sub>10</sub> alkoxy, preferably C<sub>1</sub>-C<sub>6</sub> alkoxy, -CHO, -CN, -NO<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1</sub>-C<sub>6</sub>  
alkyl, -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -N-SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl, or -SO<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl;

15    R<sub>3</sub> is selected from H, -C<sub>1</sub>-C<sub>10</sub> alkyl, preferably -C<sub>1</sub>-C<sub>6</sub> alkyl, -(CH<sub>2</sub>)-OH,  
(CH<sub>2</sub>)<sub>n</sub>C(O)NH<sub>2</sub>, -CH<sub>2</sub>-O-(C<sub>1</sub>-C<sub>6</sub> alkyl), -CH<sub>2</sub>-O-CH<sub>2</sub>-phenyl, -CH<sub>2</sub>-N-(C<sub>1</sub>-C<sub>6</sub> alkyl), -  
CH<sub>2</sub>-N-CH<sub>2</sub>-phenyl, the phenyl rings of which are optionally substituted by 1 or 2  
groups selected from H, halogen, -CF<sub>3</sub> or -C<sub>1</sub>-C<sub>6</sub> alkyl;

n = 0 or 1.

20    R<sub>4</sub> is a moiety of the formulae -(CH<sub>2</sub>)<sub>n</sub>-A, -(CH<sub>2</sub>)<sub>n</sub>-S-A, or -(CH<sub>2</sub>)<sub>n</sub>-O-A, wherein  
A is the moiety:



wherein

D is H, C<sub>1</sub>-C<sub>6</sub> lower alkyl, C<sub>1</sub>-C<sub>6</sub> lower alkoxy, or -CF<sub>3</sub>;

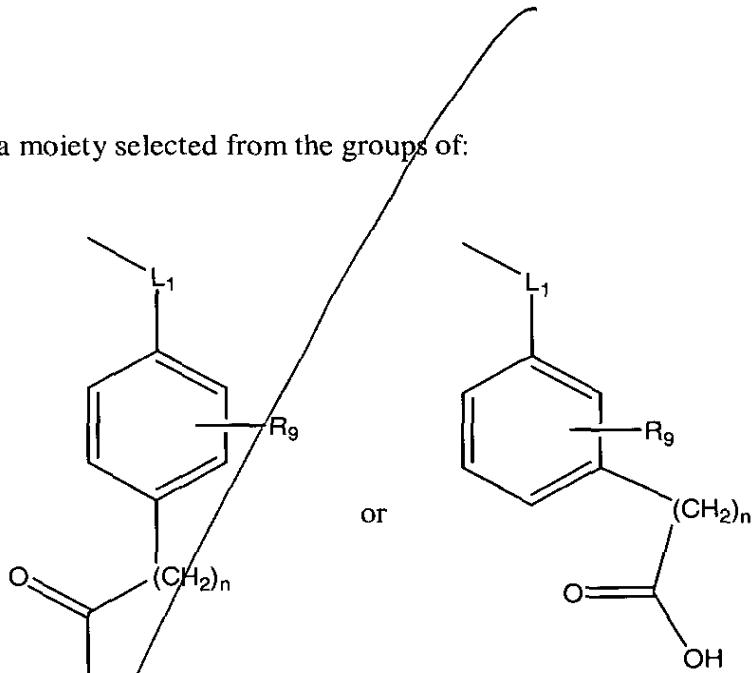
25    B and C are independently selected from phenyl, pyridinyl, furyl, thienyl or  
pyrrolyl groups, each optionally substituted by from 1 to 3, preferably 1 to 2,  
substituents selected from H, halogen, -CF<sub>3</sub>, -OH, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, or -  
NO<sub>2</sub>;

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5

*R<sub>5</sub>* is a moiety selected from the groups of:

*Sub  
mt  
mt*



10

wherein L<sup>1</sup> is a bridging or linking moiety selected from a chemical bond, -(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-C(O)-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-S-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-SO-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-SO<sub>2</sub>-(CH<sub>2</sub>)<sub>n</sub>-, or -(CH<sub>2</sub>)<sub>n</sub>-CH=CH-(CH<sub>2</sub>)<sub>n</sub>-O-;

where n = 0-5

15

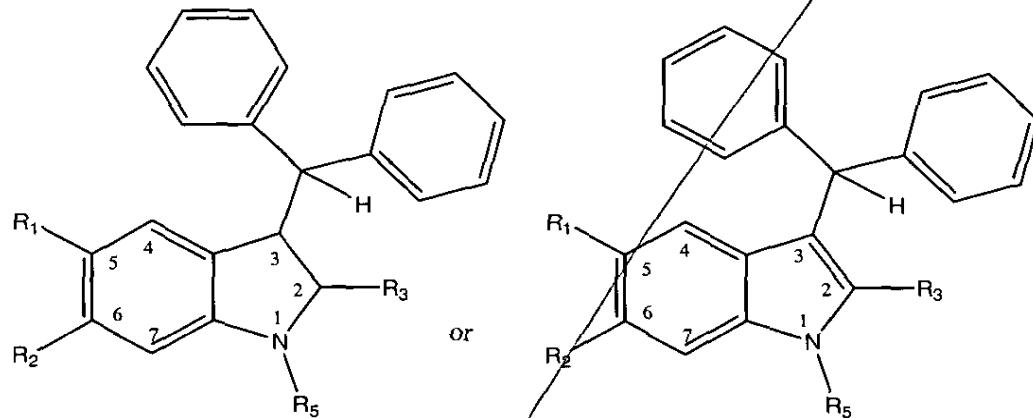
*R<sub>9</sub>* is selected from -CF<sub>3</sub>, -C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, -NH(C<sub>1</sub>-C<sub>6</sub> alkyl), or -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>,

20

*n* in each instance is independently selected as an integer from 0 to 3  
or a pharmaceutically acceptable salt thereof

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5 9. A compound of Claim 1 having the formulae:



wherein:

10  $R_1$  is selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-HN(C_1-C_6)$ ,  $-N(C_1-C_6)_2$ , phenyl,  $-N-SO_2-C_1-C_6$  alkyl, or  $-SO_2-C_1-C_6$  alkyl;

15  $R_2$  is selected from H, halogen,  $-CF_3$ ,  $-OH$ ,  $-CN$ ,  $-NO_2$ ,  $-NH_2$ ,  $-NH-C_1-C_6$  alkyl,  $-N(C_1-C_6)_2$ ,  $-N-SO_2-C_1-C_6$  alkyl, or  $-SO_2-C_1-C_6$  alkyl;

20  $R_3$  is selected from H,  $-C_1-C_{10}$  alkyl, preferably  $-C_1-C_6$  alkyl,  $-(CH_2)_2-OH$ ,  $(CH_2)_nC(O)NH_2$ ,  $-CH_2-O-(C_1-C_6$  alkyl),  $-CH_2-O-CH_2$ -phenyl,  $-CH_2-N-(C_1-C_6$  alkyl),  $-CH_2-N-CH_2$ -phenyl, the phenyl rings of which are optionally substituted by 1 or 2 groups selected from H, halogen,  $-CF_3$  or  $-C_1-C_6$  alkyl;

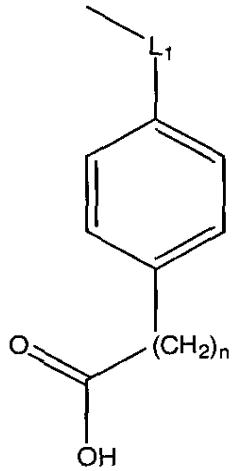
$n = 0$  or 1.

$R_5$  is a moiety selected from the groups of:

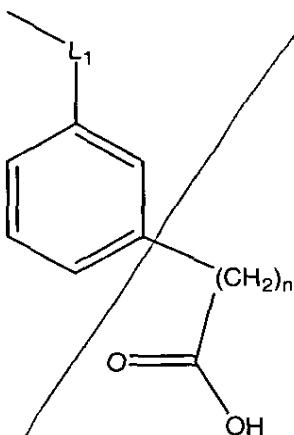
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*Sub A1  
Cmt*

5



or



wherein  $L^1$  is a bridging or linking moiety selected from a chemical bond,  $-(\text{CH}_2)_n-$ ,  $-(\text{CH}_2)_n-\text{C}(\text{O})-(\text{CH}_2)_n-$ ,  $-(\text{CH}_2)_n-\text{O}-(\text{CH}_2)_n-$ ,  $-(\text{CH}_2)_n-\text{S}-(\text{CH}_2)_n-$ ,  $-(\text{CH}_2)_n-\text{SO}-(\text{CH}_2)_n-$ ,  $-(\text{CH}_2)_n-\text{SO}_2-(\text{CH}_2)_n-$ , or  $-(\text{CH}_2)_n-\text{CH}=\text{CH}-(\text{CH}_2)_n-\text{O}-$ ;

10         $n'$  in each instance is independently selected as an integer from 0 to 5;  
or a pharmaceutically acceptable salt thereof.

10.      A compound of Claim 1 which is 4-{[(E)-4-(3-benzhydryl-5-chloro-2-methyl-1H-indol-1-yl)-2-butenyl]oxy}benzoic acid or a pharmaceutically acceptable salt thereof.

11.      A compound of Claim 1 which is 4-[2-(3-benzhydryl-5-chloro-2-methyl-1H-indol-1-yl)ethoxy]benzoic acid or a pharmaceutically acceptable salt thereof.

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5        12. A compound of Claim 1 which is 3-{4-[2-(3-benzhydryl-5-chloro-2-methyl-1H-indol-1-yl)ethoxy]phenyl}propanoic acid or a pharmaceutically acceptable salt thereof.

10      13. A compound of Claim 1 which is 3-(4-{{2-(3-benzhydryl-6-chloro-1H-indol-1-yl)ethyl}sulfonyl}phenyl)propanoic acid or a pharmaceutically acceptable salt thereof.

15      14. A compound of Claim 1 which is 4-{{2-(3-benzhydryl-6-chloro-1H-indol-1-yl)ethyl}sulfonyl}benzoic acid or a pharmaceutically acceptable salt thereof.

15      15. A compound of Claim 1 which is 4-[2-(3-benzhydryl-2-methyl-1H-indol-1-yl)ethoxy]benzoic acid or a pharmaceutically acceptable salt thereof.

20      16. A method of inhibiting the phospholipase activity of an enzyme in a mammal in need thereof comprising administering to said mammal a therapeutically effective amount of a compound of Claim 1, or a pharmaceutically acceptable salt thereof.

25      17. A method of treating or preventing an inflammatory response in a mammal in need thereof, the method comprising administering to said mammal a therapeutically effective amount of a compound of Claim 1, or a pharmaceutically acceptable salt thereof.

30      18. The method of Claim 17 wherein the inflammatory response is associated with inflammatory bowel disease.

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5        19. The method of Claim 17 wherein the inflammatory response is  
associated with osteoarthritis, psoriatic arthritis or rheumatoid arthritis.

10      20. A pharmaceutical composition comprising a therapeutically effective  
amount of a compound of Claim 1, or a pharmaceutically acceptable salt thereof, and  
a pharmaceutically acceptable carrier.

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